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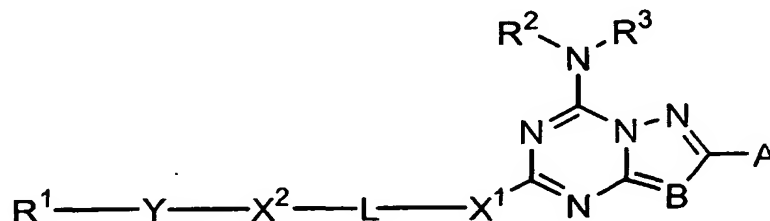
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(54) Title: **TRIAZOLOTRIAZINES AND PYRAZOLOTRIAZINES USEFUL AS A<sub>2A</sub> ADENOSINE RECEPTOR ANTAGONISTS**



(I)

(57) Abstract: The invention is based on the discovery that compounds of formula (I) possess unexpectedly high affinity for the A<sub>2a</sub> adenosine receptor, and can be useful as antagonists thereof for preventing and/or treating numerous diseases, including Parkinson's disease. In one embodiment, the invention features a compound of formula I: (I)

WO 2004/092170 A3

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/US2004/011005

<b>A. CLASSIFICATION OF SUBJECT MATTER</b> IPC 7 C07D487/04 C07D519/00 A61K31/53 A61K31/519 A61P25/06 A61P25/16 A61P25/24 A61P25/28		
According to International Patent Classification (IPC) or to both national classification and IPC		
<b>B. FIELDS SEARCHED</b> Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07D A61K A61P		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
Electronic data base consulted during the International search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, BIOSIS, CHEM ABS Data, BEILSTEIN Data		
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 515 107 A (ICI PLC) 25 November 1992 (1992-11-25)  examples page 7, paragraph 2; claims 1-10	1, 25-32, 36, 40, 42, 44, 46-51
X	EP 0 459 702 A (ICI PLC) 4 December 1991 (1991-12-04)  examples page P, line 41 - line 44; claims 1-16  -/--	1, 25-32, 36, 40, 42, 44, 46-51
<input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex.		
* Special categories of cited documents : *A* document defining the general state of the art which is not considered to be of particular relevance *E* earlier document but published on or after the international filing date *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) *O* document referring to an oral disclosure, use, exhibition or other means *P* document published prior to the international filing date but later than the priority date claimed *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. *Z* document member of the same patent family		
Date of the actual completion of the international search  8 October 2004		Date of mailing of the international search report  01. 01. 2005
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016		Authorized officer  Stroeter, T

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US2004/011005

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	FRANCIS J E ET AL: "STRUCTURE-ACTIVITY PROFILE OF A SERIES OF NOVEL TRIAZOLOQUINAZOLINE ADENOSINE ANTAGONISTS" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 31, 1988, pages 1014-1020, XP002136848 ISSN: 0022-2623 (whole left-hand col. of page 1018) page 1018, paragraphs 1,2; figures 1,2	1,25-32, 36,40, 42,44, 46-51
A	EP 0 667 349 A (KYOWA HAKKO KOGYO KK) 16 August 1995 (1995-08-16)  compound 4	1,25-32, 36,40, 42,44, 46-51
A	FR 2 230 366 A (SANDOZ SA) 20 December 1974 (1974-12-20)  the whole document	1,25-32, 36,40, 42,44, 46-51

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US2004/011005

## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 40-51  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 40 to 51 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:  
1, 25-32, 36, 40, 42, 44, 46-51 (all part)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1, 25-32, 36, 40, 42, 44, 46-51 (each partial)

compounds (and subject-matter referring to these compounds)  
of formula (I) wherein L = phenyl (first structure)  
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2. claims: 1, 28-32, 40, 42, 44, 46-51 (each partial)

compounds (and subject-matter referring to these compounds)  
of formula (I) wherein L = cycloalkyl (2nd structure)  
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3. claims: 1, 28-32, 40, 42, 44, 46-51 (each partial) and 2-24,  
32-35, 37-39 (each  
complete)

compounds (and subject-matter referring to these compounds)  
of formula (I) wherein L = saturated N-heteromonocycle (3rd  
to 12th structure)  
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4. claims: 1, 25-32, 40, 42, 44, 46-51 (each partial)

compounds (and subject-matter referring to these compounds)  
of formula (I) not yet comprised by groups 1-3 wherein L  
bond (and X1= alkynylene)  
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# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2004/011005

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**Information on patent family members**

PCT/US2004/011005

Form PCT/ISA/210 (patent family annex) (January 2004)